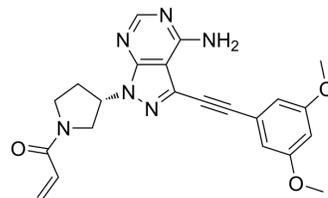


Futibatinib

Cat. No.:	HY-100818		
CAS No.:	1448169-71-8		
Molecular Formula:	C ₂₂ H ₂₂ N ₆ O ₃		
Molecular Weight:	418.45		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	1 year
		-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 29 mg/mL (69.30 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.3898 mL	11.9489 mL	23.8977 mL
	5 mM	0.4780 mL	2.3898 mL	4.7795 mL
	10 mM	0.2390 mL	1.1949 mL	2.3898 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: 2.08 mg/mL (4.97 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 2.08 mg/mL (4.97 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.08 mg/mL (4.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Futibatinib (TAS-120) is an orally bioavailable, highly selective, and irreversible FGFR inhibitor, with IC₅₀s of 3.9, 1.3, 1.6, and 8.3 nM for FGFR 1-4, respectively. Futibatinib inhibits mutant and wild-type FGFR2 with similar IC₅₀s (wild-type FGFR2=0.9 nM; V565I=1-3 nM; N550H=3.6 nM; E566G=2.4 nM)^{[1][2][3]}.

In Vitro

Futibatinib (TAS-120) covalently binds to a highly conserved P-loop cysteine residue in the ATP pocket of FGFR^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Futibatinib (TAS-120) (3, 30, 100 mg/kg/day, p.o.) exerts an anti-tumor effect in mice. Futibatinib (TAS-120) shows anti-tumor

effect by administering at moderate intervals, such as intermittent administration of every other day dosing and 2 times/week, and reducing the sustained elevation and weight suppression blood phosphorus level, and take a antitumor effective as daily administration^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration ^[1]

It is transplanted to the right chest of the anti-tumor effect human gastric cancer strain (OCUM-2MD3) the old 6-week-old male nude rats with intermittent administration schedule in Test Example 7 rat. Measuring the major axis of tumor (mm) and minor axis (mm) after tumor implantation, the tumor volume: After calculating the (tumor volume TV), allocates the mouse average TV each group to be equal in each group, the the days that are conducted grouped the (n=5) is the day 0. Futibatinib (TAS-120) 3 mg/kg/day, 30 mg/kg/day, is prepared so as to 100 mg/kg/day, 3 mg/kg/day is daily administered orally, 30 mg/kg/day is administered orally every other day, 100 mg/kg/day is performed oral administration of 2 time/week from day 1, provided with the evaluation period of 14 days, the final valuation date it is day 15.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2024 Feb 6;121(6):e2317756121.
- J Pharm Biomed Anal. 2022 May 30;214:114731.

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Caution: Product has not been fully validated for medical applications. For research use only.

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